

Y is CR⁵ or N;

A is CH, CR⁴ or N;

n is 0, 1, 2, 3 or 4;

Q is $\text{-NR}^1\text{R}^2$ or when Y is CR^5 then Q may also be hydrogen;

R¹ and R² are each independently selected from hydrogen, hydroxy, C₁₋₁₂alkyl, C₁₋₁₂alkyloxy, C₁₋₁₂alkylcarbonyl, C₁₋₁₂alkyloxycarbonyl, aryl, amino, mono- or di(C₁₋₁₂alkyl)amino, mono- or di(C₁₋₁₂alkyl)aminocarbonyl wherein each of the aforementioned C₁₋₁₂alkyl groups may optionally and each individually be substituted with one or two substituents each independently selected from hydroxy, C₁₋₆alkyloxy, hydroxyC₁₋₆alkyloxy, carboxyl, C₁₋₆alkyloxycarbonyl, cyano, amino, imino, aminocarbonyl, aminocarbonylamino, mono- or di(C₁₋₆alkyl)amino, aryl and Het; or R¹ and R² taken together may form pyrrolidinyl, piperidinyl, morpholinyl, azido or mono- or di(C₁₋₁₂alkyl)aminoC₁₋₄alkylidene;

R³ is hydrogen, aryl, C₁₋₆alkylcarbonyl, C₁₋₆alkyl, C₁₋₆alkyloxycarbonyl, C₁₋₆alkyl substituted with C₁₋₆alkyloxycarbonyl;

each R⁴ independently is hydroxy, halo, C₁₋₆alkyl, C₁₋₆alkyloxy, cyano, aminocarbonyl, nitro, amino, trihalomethyl, trihalomethyloxy, or when Y is CR⁵ then R⁴ may also represent C₁₋₆alkyl substituted with cyano or aminocarbonyl;

R⁵ is hydrogen or C₁₋₄alkyl;

L is $-X^1-R^6$ or $-X^2-Alk-R^7$, wherein

R⁶ and R⁷ each independently are phenyl or phenyl substituted with one, two, three, four or five substituents each independently selected from halo, hydroxy, C₁₋₆alkyl, C₁₋₆alkyloxy, C₁₋₆alkylcarbonyl, C₁₋₆alkyloxycarbonyl, formyl, cyano, nitro, amino, and trifluoromethyl; or when Y is CR⁵ then R⁶ and R⁷ may also be selected from phenyl substituted with one, two, three, four or five substituents each independently selected from aminocarbonyl, trihalomethyloxy and trihalomethyl; or when Y is N then R⁶ and R⁷ may also be selected

from indanyl or indolyl, each of said indanyl or indolyl may be substituted with one, two, three, four or five substituents each independently selected from halo, hydroxy, C₁₋₆alkyl, C₁₋₆alkyloxy, C₁₋₆alkylcarbonyl, C₁₋₆alkyloxycarbonyl, formyl, cyano, nitro, amino, and trifluoromethyl;

X¹ and X² are each independently -NR³-, -NH-NH-, -N=N-, -O-, -S-, -S(=O)- or -S(=O)₂-;

Alk is C₁₋₄alkanediyl; or

when Y is CR⁵ then L may also be selected from C₁₋₁₀alkyl, C₃₋₁₀alkenyl, C₃₋₁₀alkynyl, C₃₋₇cycloalkyl, or C₁₋₁₀alkyl substituted with one or two substituents independently selected from C₃₋₇ cycloalkyl, indanyl, indolyl and phenyl, wherein said phenyl, indanyl and indolyl may be substituted with one, two, three, four or where possible five substituents each independently selected from halo, hydroxy, C₁₋₆alkyl, C₁₋₆alkyloxy, cyano, aminocarbonyl, C₁₋₆ alkyloxycarbonyl, formyl, nitro, amino, trihalomethyl, trihalomethyloxy and C₁₋₆alkylcarbonyl;

aryl is phenyl or phenyl substituted with one, two, three, four or five substituents each independently selected from halo, C₁₋₆alkyl, C₁₋₆alkyloxy, cyano, nitro and trifluoromethyl; and

(b) one or more pharmaceutically acceptable water-soluble polymers.

2. (amended) A particle according to claim 1, 25 or 26 having a particle size of less than 1500 μm.

3. (amended) A particle according to claim 1, 25 or 26, wherein said compound (a) is in a non-crystalline phase.

4. (amended) A particle according to claim 1, 25 or 26, wherein the solid dispersion is in the form of a solid solution comprising said compound (a) and said polymer (b).

5. (amended) A particle consisting of a solid dispersion, comprising:

- (a) a compound selected from the group consisting of
- 4-[[4-[(2,4,6-trimethylphenyl)amino]-2-pyrimidinyl]amino]benzonitrile 4-[[4-amino-5-bromo-6-(4-cyano-2,6-dimethylphenoxy)-2-pyrimidinyl]amino]-benzonitrile, 4-[[4-amino-5-chloro-6-[(2,4,6-trimethylphenyl)amino]-2-pyrimidinyl]amino]benzonitrile, 4-[[5-chloro-4-[(2,4,6-trimethylphenyl)amino]-2-pyrimidinyl]amino]benzonitrile, (4-[[5-bromo-4-(4-cyano-2,6-dimethylphenoxy)-2-pyrimidinyl]amino]benzonitrile, (4-[[4-amino-5-chloro-6-[(4-cyano-2,6-dimethylphenyl)amino]-2-pyrimidinyl]amino]-benzonitrile, (4-[[5-bromo-6-[(4-cyano-2,6-dimethylphenyl)amino]-2-pyrimidinyl]amino]benzonitrile, (4-[[4-amino-5-chloro-6-(4-cyano-2,6-dimethylphenoxy)-2-pyrimidinyl]amino]benzonitrile, (4-[[2-[(cyanophenyl)amino]-4-pyrimidinyl]amino]-3,5-dimethylbenzonitrile, and 4-[[4-[(2,4,6-trimethylphenyl)amino]-1,3,5-triazin-2-yl]amino]benzonitrile; and
- (b) one or more pharmaceutically acceptable water-soluble polymers.

6. (amended) A particle according to claim 1, wherein said compound (a) is 4-[[4-[(2,4,6-trimethylphenyl)amino]-2-pyrimidinyl]amino]benzonitrile.

7. (amended) A particle according to claim 1, 25 or 26, wherein said water-soluble polymer is a polymer that has an apparent viscosity of 1 to 5000 mPa·s when dissolved at 20°C in an aqueous solution at 2% (w/v).

8. (amended) A particle according to claim 7, wherein the water-soluble polymer is a polymer selected from the group consisting of:

alkylcelluloses,
hydroxyalkylcelluloses,
hydroxyalkyl alkylcelluloses,
carboxyalkylcelluloses,
alkali metal salts of carboxyalkylcelluloses,
carboxyalkylalkylcelluloses,
carboxyalkylcellulose esters,
starches,

pectines,
chitin derivatives,
di-, oligo- or polysaccharides,
polyacrylic acids and the salts thereof,
5 polymethacrylic acids, the salts and esters thereof, methacrylate copolymers,
polyvinylalcohol, and
polyalkylene oxides.

9. (amended) A particle according to claim 8, wherein said water-soluble polymer is
hydroxypropyl methylcellulose.

10. (amended) A particle according to claim 9, wherein the weight ratio of (a):(b) is in the
range of 1:1 to 1:899.

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12. (amended) A particle according to claim 1, 25 or 26 consisting of a solid solution,
comprising:

- (a) two parts by weight of said compound (a); and
- (b) three parts by weight of hydroxypropyl methylcellulose.

13. (amended) A particle according to claim 1, 25 or 26, further comprising one or more
pharmaceutically acceptable excipients.

14. (amended) A pharmaceutical dosage form, comprising a therapeutically effective amount
of particles as claimed in claim 1, 25 or 26.

15. (amended) A pharmaceutical dosage form according to claim 14, wherein said form is
shaped as a tablet suitable for oral administration.

16. (amended) A pharmaceutical dosage form according to claim 15, wherein said particles are homogeneously distributed throughout a mixture of a diluent and a disintegrant for immediate release of said compound.

5 17. (amended) A pharmaceutical dosage form according to claim 15, wherein said tablet is surrounded by a film-coat comprising a film-forming polymer, a plasticizer and optionally a pigment.

10 18. (amended) A pharmaceutical dosage form according to claim 16,

wherein said diluent is a spray-dried mixture comprising:

(a) 25% by weight of lactose monohydrate; and

(b) 75% by weight of microcrystalline cellulose;

wherein said disintegrant is selected from the group consisting of crospovidone and croscarmellose.

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19. (amended) A pharmaceutical dosage form according to claim 14, wherein said therapeutically effective amount is at least 40 % of the total weight of said pharmaceutical dosage form.

20 20. (amended) A process of preparing particles as claimed in claim 1, 25 or 26, comprising the steps of:

(1) blending said compound (a) and said polymer (b) to form a blend;

(2) extruding said blend at a temperature in the range of 20-300°C to form an extrudate;

25 (3) grinding said extrudate to form particles; and

(4) optionally, sieving said particles.

21. (amended) A process of preparing a pharmaceutical dosage form as claimed in claim 14, comprising the steps of:

30 (1) blending said therapeutically effective amount of particles with pharmaceutically acceptable excipients; and

(2) compressing said blend into tablets.

22. (amended) A method of treating a mammal suffering from a viral infection, comprising the steps of:

- (1) preparing a pharmaceutical dosage form of said particles according to claim 1, 25 or 26;
- (2) administering a single dose of said pharmaceutical dosage form once daily to said mammal.

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24. A pharmaceutical package suitable for commercial sale, comprising:

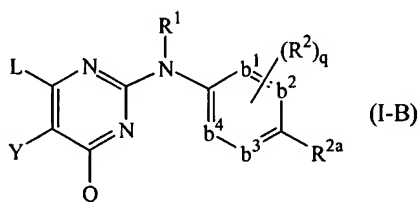
- (a) a container;
- (b) written matter on said container;
- (c) said pharmaceutical dosage form as claimed in claim 14;
- wherein said written matter is associated with said pharmaceutical dosage form.

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Please add the following new claims:

20 25. A particle consisting of a solid dispersion, comprising:

- (a) a compound of formula



the *N*-oxides, the pharmaceutically acceptable addition salts, quaternary amines and the stereochemically isomeric forms thereof,

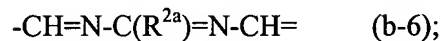
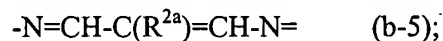
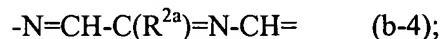
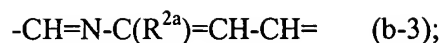
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wherein

$-b^1=b^2-C(R^{2a})=b^3-b^4=$ represents a bivalent radical of formula

$-\text{CH}=\text{CH}-\text{C}(\text{R}^{2a})=\text{CH}-\text{CH}=$ (b-1);

$-\text{N}=\text{CH}-\text{C}(\text{R}^{2a})=\text{CH}-\text{CH}=$ (b-2);

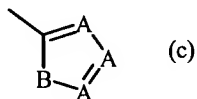


q is 0, 1, 2; or where possible q may also be 3 or 4;

R^1 is hydrogen, aryl, formyl, C_{1-6} alkylcarbonyl, C_{1-6} alkyl, C_{1-6} alkyloxycarbonyl, C_{1-6} alkyl substituted with formyl, C_{1-6} alkylcarbonyl, C_{1-6} alkyloxycarbonyl;

R^{2a} is cyano, aminocarbonyl, mono- or di(methyl)aminocarbonyl, C_{1-6} alkyl substituted with cyano, aminocarbonyl or mono- or di(methyl)aminocarbonyl, C_{2-6} alkenyl substituted with cyano, or C_{2-6} alkynyl substituted with cyano;

each R^2 independently is hydroxy, halo, C_{1-6} alkyl optionally substituted with cyano or $-\text{C}(=\text{O})\text{R}^6$, C_{3-7} cycloalkyl, C_{2-6} alkenyl optionally substituted with one or more halogen atoms or cyano, C_{2-6} alkynyl optionally substituted with one or more halogen atoms or cyano, C_{1-6} alkyloxy, C_{1-6} alkyloxycarbonyl, carboxyl, cyano, nitro, amino, mono- or di(C_{1-6} alkyl)amino, polyhalomethyl, polyhalomethyloxy, polyhalomethylthio, $-\text{S}(=\text{O})_p\text{R}^6$, $-\text{NH}-\text{S}(=\text{O})_p\text{R}^6$, $-\text{C}(=\text{O})\text{R}^6$, $-\text{NHC}(=\text{O})\text{H}$, $-\text{C}(=\text{O})\text{NHNH}_2$, $-\text{NHC}(=\text{O})\text{R}^6$, $-\text{C}(=\text{NH})\text{R}^6$ or a radical of formula



wherein

each A independently is N, CH or CR^6 ;

B is NH, O, S or NR^6 ;

p is 1 or 2; and

R^6 is methyl, amino, mono- or dimethylamino or polyhalomethyl;

L is C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, C_{3-7} cycloalkyl, whereby each of said aliphatic group may be substituted with one or two substituents independently selected from

C₃₋₇cycloalkyl,

indolyl or isoindolyl, each optionally substituted with one, two, three or four substituents each independently selected from halo, C₁₋₆ alkyl, hydroxy, C₁₋₆alkyloxy, cyano, aminocarbonyl, nitro, amino, polyhalomethyl, polyhalomethyloxy and C₁₋₆alkylcarbonyl,

phenyl, pyridinyl, pyrimidinyl, pyrazinyl or pyridazinyl, wherein each of said aromatic rings may optionally be substituted with one, two, three, four or five substituents each independently selected from the substituents defined in R²; or

L is -X-R³ wherein

R³ is phenyl, pyridinyl, pyrimidinyl, pyrazinyl or pyridazinyl, wherein each of said aromatic rings may optionally be substituted with one, two, three, four or five substituents each independently selected from the substituents defined in R²; and

X is -NR¹-, -NH-NH-, -N=N-, -O-, -C(=O)-, -CHOH, -S-, -S(=O)- or -S(=O)₂-;

Q is hydrogen, C₁₋₆alkyl, halo, polyhaloC₁₋₆alkyl or -NR⁴R⁵; and

R⁴ and R⁵ are each independently selected from hydrogen, hydroxy, C₁₋₁₂alkyl, C₁₋₁₂alkyloxy, C₁₋₁₂alkylcarbonyl, C₁₋₁₂alkyloxycarbonyl, aryl, amino, mono- or di(C₁₋₁₂alkyl)amino, mono- or di(C₁₋₁₂alkyl)aminocarbonyl wherein each of the aforementioned C₁₋₁₂alkyl groups may optionally and each individually be substituted with one or two substituents each independently selected from hydroxy, C₁₋₆alkyloxy, hydroxyC₁₋₆alkyloxy, carboxyl, C₁₋₆alkyloxycarbonyl, cyano, amino, imino, mono- or di(C₁₋₆alkyl)amino, polyhalomethyl, polyhalomethyloxy, polyhalomethylthio, -S(=O)_pR⁶, -NH-S(=O)_pR⁶, -C(=O)R⁶, -NHC(=O)H, -C(=O)NHNH₂, -NHC(=O)R⁶, -C(=NH)R⁶, aryl and Het; or

R⁴ and R⁵ taken together may form pyrrolidinyl, piperidinyl, morpholinyl, azido or mono- or di(C₁₋₁₂alkyl)aminoC₁₋₄alkylidene;

Y represents hydroxy, halo, C₃₋₇cycloalkyl, C₂₋₆alkenyl optionally substituted with one or more halogen atoms, C₂₋₆alkynyl optionally substituted

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with one or more halogen atoms, C₁₋₆alkyl substituted with cyano or -C(=O)R⁶, C₁₋₆alkyloxy, C₁₋₆alkyloxycarbonyl, carboxyl, cyano, nitro, amino, mono- or di(C₁₋₆alkyl)amino, polyhalomethyl, polyhalomethyloxy, polyhalomethylthio, -S(=O)_pR⁶, -NH-S(=O)_pR⁶, -C(=O)R⁶, -NHC(=O)H, -C(=O)NHNH₂, -NHC(=O)R⁶, -C(=NH)R⁶ or aryl;

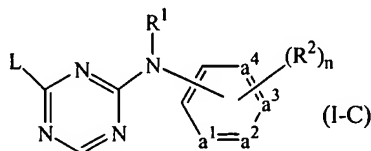
aryl is phenyl or phenyl substituted with one, two, three, four or five substituents each independently selected from halo, C₁₋₆alkyl, C₃₋₇cycloalkyl, C₁₋₆alkyloxy, cyano, nitro, polyhaloC₁₋₆alkyl and polyhaloC₁₋₆alkyloxy;

Het is an aliphatic or aromatic heterocyclic radical; said aliphatic heterocyclic radical is selected from pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, morpholinyl, tetrahydrofuranyl and tetrahydrothienyl wherein each of said aliphatic heterocyclic radical may optionally be substituted with an oxo group; and said aromatic heterocyclic radical is selected from pyrrolyl, furanyl, thienyl, pyridinyl, pyrimidinyl, pyrazinyl and pyridazinyl wherein each of said aromatic heterocyclic radical may optionally be substituted with hydroxy; and

(b) one or more pharmaceutically acceptable water-soluble polymers.

26. A particle consisting of a solid dispersion, comprising

(a) a compound of formula



the N-oxides, the pharmaceutically acceptable addition salts, quaternary amines and the stereochemically isomeric forms thereof,

wherein

-a¹=a²-a³=a⁴- represents a bivalent radical of formula

-CH=CH-CH=CH- (a-1);

-N=CH-CH=CH- (a-2);

-N=CH-N=CH- (a-3);

-N=CH-CH=N- (a-4);

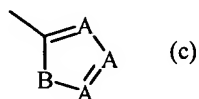
-N=N-CH=CH- (a-5);

n is 0, 1, 2, 3 or 4; and in case $-a^1=a^2-a^3=a^4-$ is (a-1), then n may also be

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R^1 is hydrogen, aryl, formyl, C_{1-6} alkylcarbonyl, C_{1-6} alkyl, C_{1-6} alkyloxycarbonyl, C_{1-6} alkyl substituted with formyl, C_{1-6} alkylcarbonyl, C_{1-6} alkyloxycarbonyl;

each R^2 independently is hydroxy, halo, C_{1-6} alkyl optionally substituted with cyano or $-C(=O)R^4$, C_{3-7} cycloalkyl, C_{2-6} alkenyl optionally substituted with one or more halogen atoms or cyano, C_{2-6} alkynyl optionally substituted with one or more halogen atoms or cyano, C_{1-6} alkyloxy, C_{1-6} alkyloxycarbonyl, carboxyl, cyano, nitro, amino, mono- or di(C_{1-6} alkyl)amino, polyhalomethyl, polyhalomethyloxy, polyhalomethylthio, $-S(=O)_pR^4$, $-NH-S(=O)_pR^4$, $-C(=O)R^4$, $-NHC(=O)H$, $-C(=O)NHNH_2$, $-NHC(=O)R^4$, $-C(=NH)R^4$ or a radical of formula



wherein

each A independently is N, CH or CR^4 ;

B is NH, O, S or NR^4 ;

p is 1 or 2; and

R^4 is methyl, amino, mono- or dimethylamino or polyhalomethyl;

L is C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, C_{3-7} cycloalkyl, whereby each of said aliphatic group may be substituted with one or two substituents independently selected from

C_{3-7} cycloalkyl;

indolyl or isoindolyl, each optionally substituted with one, two, three or four substituents each independently selected from halo, C_{1-6} alkyl, hydroxy,

C₁₋₆alkyloxy, cyano, aminocarbonyl, nitro, amino, polyhalomethyl, polyhalomethyloxy and C₁₋₆alkylcarbonyl,

phenyl, pyridinyl, pyrimidinyl, pyrazinyl or pyridazinyl, wherein each of said aromatic rings may optionally be substituted with one, two, three, four or five substituents each independently selected from the substituents defined in R²; or

L is -X-R³ wherein

R³ is phenyl, pyridinyl, pyrimidinyl, pyrazinyl or pyridazinyl, wherein each of said aromatic rings may optionally be substituted with one, two, three, four or five substituents each independently selected from the substituents defined in R²; and

X is -NR¹-, -NH-NH-, -N=N-, -O-, -C(=O)-, -CHOH-, -S-,
-S(=O)- or -S(=O)₂-;

aryl is phenyl or phenyl substituted with one, two, three, four or five substituents each independently selected from halo, C₁₋₆alkyl, C₃₋₇ cycloalkyl, C₁₋₆alkyloxy, cyano, nitro, polyhaloC₁₋₆alkyl and polyhaloC₁₋₆ alkyloxy;

with the proviso that compounds wherein

- (i) L is C₁₋₃alkyl; R¹ is selected from hydrogen, ethyl and methyl; -a¹=a²-a³=a⁴- represents a bivalent radical of formula (a-1); n is 0 or 1 and R² is selected from fluoro, chloro, methyl, trifluoromethyl, ethyloxy and nitro;
- (ii) L is -X-R³, X is -NH-; R¹ is hydrogen; -a¹=a²-a³=a⁴- represents a bivalent radical of formula (a-1); n is 0 or 1 and R² is selected from chloro, methyl, methyloxy, cyano, amino and nitro and R³ is phenyl, optionally substituted with one substituent selected from chloro, methyl, methyloxy, cyano, amino and nitro;
- (iii) *N,N*-dipyridinyl-(1,3,5)-triazine-2,4-diamine; and
- (iv) (4-chloro-phenyl)-(4(1-(4-isobutyl-phenyl)-ethyl)-(1,3,5)triazin-2-yl)-amine

are not included; and

- (b) one or more pharmaceutically acceptable water-soluble polymers.

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27. A process of preparing a pharmaceutical dosage form as claimed in claim 14, comprising the steps of:

- (a) blending a therapeutically effective amount of particles with pharmaceutically acceptable excipients to form a blend; and
- 5 (b) filling said blend into capsules.

28. A particle according to claim 4, further comprising a material selected from said compound (a) and said polymer (b);

wherein said material is dispersed in said solid solution to form a solid dispersion;

wherein said compound (a) is in a form selected from amorphous and microcrystalline; and

wherein said polymer (b) is in a form selected from amorphous and microcrystalline.

29. A particle produced by the process of claim 20.

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